

[Billing Code 4140-01-P]

DEPARTMENT OF HEALTH AND HUMAN SERVICES

National Institutes of Health

Government-Owned Inventions; Availability for Licensing

AGENCY: National Institutes of Health, HHS.

ACTION: Notice.

SUMMARY: The inventions listed below are owned by an agency of the U.S.

Government and are available for licensing in the U.S.

FOR FURTHER INFORMATION CONTACT: Licensing information may be obtained by emailing the indicated licensing contact at the National Heart, Lung, and Blood, Office of Technology Transfer and Development Office of Technology Transfer, 31 Center Drive Room 4A29, MSC2479, Bethesda, MD 20892-2479; telephone: 301-402-5579. A signed Confidential Disclosure Agreement may be required to receive any unpublished information.

SUPPLEMENTARY INFORMATION: This notice is in accordance with 35 U.S.C. 209 and 37 CFR Part 404 to achieve commercialization of results of federally-funded research and development.

Technology description follows.

Neuroendocrine Tumor Evans Blue Containing Radiotherapeutics

The invention pertains to a radiotherapeutic against neuroendocrine tumors that express somatostatin receptor. Radionuclide therapies directed against tumors that express somatostatin receptors (SSTRs) have proven effective for the treatment of advanced, low-to intermediate-grade neuroendocrine tumors. The subject radiotherapeutic covered by

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the subject patent estate includes a somatostatin (SST) peptide derivative like octreotate

(TATE), conjugated to an Evans Blue (EB) analog, and further chelated via DOTA to

therapeutic radionuclide ¹⁷⁷Lu, a beta emitter. The EB analog reversibly binds to

circulating serum albumin and improves the pharmacokinetics of SST peptide derivatives

and reduce peptide-receptor radionuclide therapy toxicity. EB analog conjugated to

octreotate (EB-DOTATATE) has been shown by the inventors to provide reversible

albumin binding in vivo and extended half-life in circulation. When EB-TATE is slowly

released into the tumor microenvironment, tumor uptake and internalization into SSTR

positive tumors resulted in delivery of radioactive particles and tumor cell killing. EB-

TATE displayed significantly more favorable pharmacokinetics than TATE alone by

achieving higher tumor to non-tumor penetration as evidenced by positron emission

tomography.

Potential Commercial Applications:

Cancer therapeutics

• Higher stability/Lower toxicity

Development Stage:

• Early stage

Inventors: Xiaoyuan Chen and Orit Jacobson Weiss (both of NIBIB)

Intellectual Property: HHS Reference No. E-150-2016-1; International Patent

Application PCT/US2017/031696.

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Dated: July 20, 2018.

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